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17. (new) A compound according to Claim 11 where one of R^{5'} and Q, or R^{6'} and Q, taken together with the carbon atoms to which they are attached form a double bond.



- 18. (new) A compound according to Claim 17 where of $R^{5'}$ and Q, taken together with the carbon atoms to which they are attached form a double bond, R^{6} is C_1 - C_4 alkyl, and $R^{6'}$ is methyl.
- 19. (new) A compound according to Claim 18 which is 3,3-dimethyl-4-(6-fluorobenzothien-7-yl)-1,2,3,6-tetrahydropyridine.

REMARKS

The amendment to the Specification merely adds a specific claim of priority to the priority documents to the present International Application, U.S. Provisional Application 60/146185 and 60/172,784, as required under 35 U.S.C. 119(e)(1).

The amendment to Claim 6 and new Claims 7 and 8 are merely mechanical amendments to eliminate the multiple dependency of the original claims.

New Claims 9-19 more particularly point out and distinctly claim the subject matter Applicants regard as their invention. No new matter is added to the specification.

New Claims 9 and 10 are drawn to another preferred method of treatment using the presently claimed novel compounds, and finds support throughout the specification and specifically at page 3, lines 15-30, especially lines 25-26.

New Claims 11-19 are drawn to various preferred embodiments of the inventive family of compounds and are supported throughout the specification, and especially as follows:

New Claim 11, see page 8, lines 23-24;

New Claim 12, see page 8, line 27;

New Claim 13, see page 8, line 27 and page 10, line 17;

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New Claim 14, see page 6, lines 5-6, and example 4;

New Claim 15, see page 9, line 25, and example 4;

New Claim 16, see page 10, line 15-16, and example 8;

New Claim 17, see page 8, lines 28-31, and example 1;

New Claim 18, see page 8, lines 28-29, page 9, lines 24 and 27, page 10, lines 22-23, and example 2;

New Claim 19, see example 3.

A mark-up copy of Claim 6 showing the changes made in this present amendment is enclosed on a separate sheet as required by 37 C.R.F. 1.121. A clean copy of the entire claim set as amended herewith is also enclosed for the Examiner's convenience. Entry of the forgoing amendments prior to substantive examination is respectfully requested.

Respectfully submitted,

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MARKED-UP COPY OF AMENDED CLAIMS

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6. The [A] method of [any of] Claim[s] 3[, 4, or 5] where the mammal is human.

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We Claim:

1. The compounds of Formula I:

ı

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

 R^2 , R^3 , and R^4 are independently hydrogen, halo, trifluoromethyl, cyano, C_1 - C_4 alkoxy, C_1 - C_4 alkoxycarbonyl, C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted with a substituent selected from the group consisting of C_1 - C_4 alkoxy and hydroxy, or - $C(O)NHR^9$;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:

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R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

 $R^{5'}$ is hydrogen or methyl, provided that $R^{5'}$ may be methyl only when R^{5} is other than hydrogen, or $R^{5'}$ and Q taken together with the carbon atoms to which they are attached form a double bond;

R⁶' is hydrogen or methyl, provided that R⁶' may be methyl only when R⁶ is other than hydrogen, or R⁶' and Q taken together with the carbon atoms to which they are attached form a double bond;

 R^{7} is hydrogen or methyl, provided that R^{7} may be methyl only when R^{7} is other than hydrogen;

- a) when n is 1 or 2, at least one of R^5 , R^6 , and R^7 , must be other than hydrogen; and
- b) no more than two of R⁵, R⁵', R⁶, R⁶', R⁷, and R⁷' may be other than hydrogen.

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2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of Formula I:

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

 R^2 , R^3 , and R^4 are independently hydrogen, halo, trifluoromethyl, cyano, C_1 - C_4 alkoxy, C_1 - C_4 alkoxycarbonyl, C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted with a substituent selected from the group consisting of C_1 - C_4 alkoxy and hydroxy, or - $C(O)NHR^9$;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

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A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:

(i)

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

R⁵' is hydrogen or methyl, provided that R⁵' may be methyl only when R⁵ is other than hydrogen, or R⁵' and Q taken together with the carbon atoms to which they are attached form a double bond;

 $R^{6'}$ is hydrogen or methyl, provided that $R^{6'}$ may be methyl only when R^{6} is other than hydrogen, or $R^{6'}$ and Q taken together with the carbon atoms to which they are attached form a double bond;

R⁷ is hydrogen or methyl, provided that R⁷ may be methyl only when R⁷ is other than hydrogen;

- a) when n is 1 or 2, at least one of R⁵, R⁶, and R⁷, must be other than hydrogen; and
- b) no more than two of R⁵, R⁵, R⁶, R⁶, R⁷, and R⁷ may be other than hydrogen.

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3. A method for increasing activation of the 5-HT₂C receptor in mammals, comprising administering to a mammal in need of such activation a pharmaceutically effective amount of a compound of Formula I:

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

 R^2 , R^3 , and R^4 are independently hydrogen, halo, trifluoromethyl, cyano, C_1 - C_4 alkoxy, C_1 - C_4 alkoxycarbonyl, C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted with a substituent selected from the group consisting of C_1 - C_4 alkoxy and hydroxy, or - $C(O)NHR^9$;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

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A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:

(i)

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

 $R^{5'}$ is hydrogen or methyl, provided that $R^{5'}$ may be methyl only when R^{5} is other than hydrogen, or $R^{5'}$ and Q taken together with the carbon atoms to which they are attached form a double bond;

 R^6 ' is hydrogen or methyl, provided that R^6 ' may be methyl only when R^6 is other than hydrogen, or R^6 ' and Q taken together with the carbon atoms to which they are attached form a double bond;

R⁷ is hydrogen or methyl, provided that R⁷ may be methyl only when R⁷ is other than hydrogen;

- a) when n is 1 or 2, at least one of R⁵, R⁶, and R⁷, must be other than hydrogen; and
- b) no more than two of R⁵, R⁵, R⁶, R⁶, R⁷, and R⁷ may be other than hydrogen.

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, trifluoromethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, C₁-C₆ alkyl substituted with a substituent selected from the group consisting of $C_1\text{-}C_4$ alkoxy and hydroxy, or -C(O)NHR9;

 $\ensuremath{\mathsf{R}}^9$ is $\ensuremath{\mathsf{C}}_1\text{-}\ensuremath{\mathsf{C}}_8$ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

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A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:

$$\begin{array}{c|c}
 & H & R^7 \\
 & N & R^7 \\
 & R^5 & R^6 \\
\end{array}$$

(i)

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

 $R^{5'}$ is hydrogen or methyl, provided that $R^{5'}$ may be methyl only when R^{5} is other than hydrogen, or $R^{5'}$ and Q taken together with the carbon atoms to which they are attached form a double bond;

 $R^{6'}$ is hydrogen or methyl, provided that $R^{6'}$ may be methyl only when R^{6} is other than hydrogen, or $R^{6'}$ and Q taken together with the carbon atoms to which they are attached form a double bond;

R⁷ is hydrogen or methyl, provided that R⁷ may be methyl only when R⁷ is other than hydrogen;

- a) when n is 1 or 2, at least one of R^5 , R^6 , and R^7 , must be other than hydrogen; and
- b) no more than two of R^5 , R^5 , R^6 , R^6 , R^7 , and R^7 may be other than hydrogen.

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5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:

where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

 R^2 , R^3 , and R^4 are independently hydrogen, halo, trifluoromethyl, cyano, C_1 - C_4 alkoxy, C_1 - C_4 alkoxycarbonyl, C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted with a substituent selected from the group consisting of C_1 - C_4 alkoxy and hydroxy, or - $C(O)NHR^9$;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:

(i)

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

R⁵' is hydrogen or methyl, provided that R⁵' may be methyl only when R⁵ is other than hydrogen, or R⁵' and Q taken together with the carbon atoms to which they are attached form a double bond;

 R^{6} ' is hydrogen or methyl, provided that R^{6} ' may be methyl only when R^{6} is other than hydrogen, or R^{6} ' and Q taken together with the carbon atoms to which they are attached form a double bond;

 R^{7} is hydrogen or methyl, provided that R^{7} may be methyl only when R^{7} is other than hydrogen;

- a) when n is 1 or 2, at least one of R^5 , R^6 , and R^7 , must be other than hydrogen; and
- b) no more than two of R⁵, R⁵, R⁶, R⁶, R⁷, and R⁷ may be other than hydrogen.
 - 6. (Amended) The method of Claim 3 where the mammal is human.
 - 7. (new) The method of Claim 4 where the mammal is human.
 - 8. (new) The method of Claim 5 where the mammal is human.
- 9. (new) A method for the treatment of obsessive compulsive disorder in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I of Claim 1, or a pharmaceutically acceptable acid addition salt thereof.
 - 10. (new) The method of Claim 9 where the mammal is human.

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- 11. (new) A compound of Claim 1 where A is attached at the 7-position of the benzofuran nucleus.
 - 12. (new) A compound according to Claim 11 where Q is hydrogen.
- 13. (new) A compound according to Claim 12 where R^6 is C_1 - C_4 alkyl and R^5 , R^7 and R^7 are each hydrogen.
- 14. (new) A compound according to Claim 13 where R^{6'} is hydrogen, and R⁶ and the benzofuran core are in the cis configuration with regard to each other.
 - 15. (new) A compound according to Claim 13 where R⁶ is methyl.
 - 16. (new) A compound according to Claim 13 where R^{6'} is methyl.
- 17. (new) A compound according to Claim 11 where one of R^{5'} and Q, or R^{6'} and Q, taken together with the carbon atoms to which they are attached form a double bond.
- 18. (new) A compound according to Claim 17 where of $R^{5'}$ and Q, taken together with the carbon atoms to which they are attached form a double bond, R^{6} is C_1 - C_4 alkyl, and $R^{6'}$ is methyl.
- 19. (new) A compound according to Claim 18 which is 3,3-dimethyl-4-(6-fluorobenzothien-7-yl)-1,2,3,6-tetrahydropyridine.